

Appl. No. 09/926,491

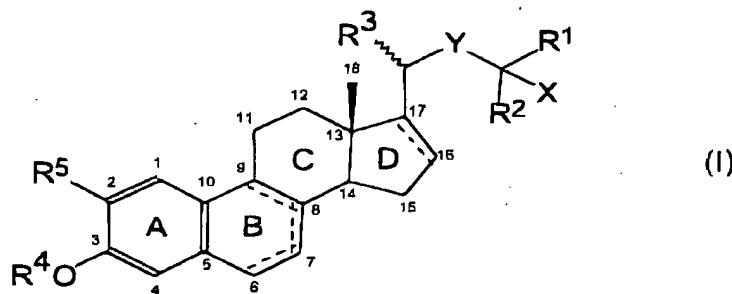
Amendment dated: October 14, 2003

Reply to OA of: April 7, 2003

This listing of claims will replace all prior versions and listings of claims in the application.

Listing of Claims:

1(original). Compounds of formula (I)



in which:

R¹ and R², which may be the same or different, each represents a lower alkyl, alkenyl or alkynyl group;

R³ represents a methyl group having α- or β-configuration;

R⁴ represents a hydrogen atom or an etherifying or esterifying group;

R⁵ represents a hydrogen atom, a hydroxyl group or a lower alkoxy group;

X represents a group OR⁴, wherein R⁴ is as defined above, or a group NR⁶R⁷ wherein R⁶ represents a hydrogen atom, an aliphatic or araliphatic organic group, or an acyl group comprising an aliphatic, araliphatic or aryl organic group linked to the nitrogen atom by way of a carbonyl group; and R⁷ is a hydrogen atom or a lower alkyl group;

Y represents a lower alkylene, alkenylene or alkynylene group optionally substituted by a hydroxyl, etherified hydroxyl or esterified hydroxyl group; and

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the dotted lines signify that double bonds may be present at the 16(17)-position and/or either at the 6(7)- and 8(9)-positions or at the 7(8)-position.

2(original). Compounds of formula (I) as claimed in claim 1 wherein R¹ and R² are independently selected from C₁₋₆ alkyl groups and C₂₋₇ alkenyl and alkynyl groups.

3(original). Compounds of formula (I) as claimed in claim 2 wherein R¹ and R² are straight chain groups.

4(original). Compounds of formula (I) as claimed in claim 2 wherein R¹ and R² are selected from methyl, ethyl and propargyl groups.

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5(previously presented). Compounds of formula (I) as claimed in claim 1 wherein R⁴ a hydrogen atom, a silyl group, a C₁₋₆ alkyl group optionally interrupted by one or more oxygen atoms or substituted by a lower cycloalkyl group, a cyclic ether group, a C₁₋₆ alkanoyl group, an aroyl group, a C₁₋₆ alkane sulphonyl or halogenated methane sulphonyl group, or an arene sulphonyl group.

6(original). Compounds of formula (I) as claimed in claim 5 wherein R⁴ is a hydrogen atom.

7(original). Compounds of formula (I) as claimed in claim 5 wherein R⁴ is a metabolically labile group or a lower alkyl group.

8(previously presented). Compounds of formula (I) as claimed in claim 1 wherein R⁵ represents a hydrogen atom or a methoxy group.

9(previously presented). Compounds of formula (I) as claimed in claim 1 wherein X represents a hydroxyl group or a group of formula NR⁶R⁷ wherein:

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R⁸ is a C₁₋₆ alkyl group, C₆₋₁₂ carbocyclic aryl C₁₋₄ alkyl group, C₁₋₆ alkanoyl group, C₆₋₁₂ carbocyclic aryl C₂₋₅ alkanoyl group, C₇₋₁₃ carbocyclic aroyl group or any of the preceding groups substituted by one or more halo, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkanoyl, C₁₋₄ alkylamino, di (C₁₋₄ alkyl) amino, nitro, carbamoyl or C₁₋₄ alkanoylamino substituents; and

R⁷ is a hydrogen atom or a C₁₋₆ alkyl group.

10(currently amended). Compounds of formula (I) as claimed in claim 9 wherein X represents a hydroxyl, amino, methylamino, ethylamino, ~~-ethyl-N-~~
~~methylamino~~ N-ethyl-N-methylamino, acetylamino, benzamido or phenylacetyl amino group.

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CONT.
11(previously presented). Compounds of formula (I) as claimed in claim 1 wherein Y contains up to 7 carbon atoms and up to 3 multiple bonds.

12(original). Compounds of formula (I) as claimed in claim 11 wherein Y is a straight chain C₂₋₆ group.

13(currently amended). Compounds of formula (I) as claimed in claim 1 wherein Y is substituted by a hydroxyl, etherified hydroxyl or esterified hydroxyl group positioned α-, β- or γ- to the group -C(R¹) (R²)[(.]], X or α- to any triple bond present in the group Y.

14(original). Compounds as claimed in claim 11 wherein Y is selected from ethylene, trimethylene, tetramethylene, vinylene, buta-1,3-dienylene, prop-2-yneylene and 1-hydroxyprop-2-yneylene.

15(original). Compounds of formula (I) as claimed in claim 1 wherein:

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R¹ and R², which may be the same or different, each represents a lower alkyl group;

R⁵ represents a hydrogen atom; and

X represents a group NR⁶R⁷ wherein R⁷ is hydrogen.

16(currently amended). The compounds:

25-acetylamino-3-hydroxy-24-homo-19-nor-cholest-1,3,5(10),16-tetraene;

25-ethylamino-3-hydroxy-24-homo-19-nor-cholest-1,3,5(10),16-tetraene;

25-methylamino-3-hydroxy-24-homo-19-nor-cholest-1,3,5(10),16-tetraene;

25-dimethylamino-3-hydroxy-24-homo-19-nor-cholest-1,3,5(10),16-tetraene;

25-(N-ethyl-N-methylamino)-3-hydroxy-24-homo-19-nor-cholest-1,3,5(10),16-tetraene;

25-acetylamino-3-methoxy-24-homo-19-nor-cholest-1,3,5(10),16-tetraene;

25-acetylamino-3-ethoxy-24-homo-19-nor-cholest-1,3,5(10),16-tetraene;

25-acetylamino-3-isobutoxy-24-homo-19-nor-cholest-1,3,5(10),16-tetraene;

25-benzamido-3-hydroxy-24-homo-19-nor-cholest-1,3,5(10),16-tetraene;

25-phenylacetylamino-3-hydroxy-24-homo-19-nor-cholest-1,3,5(10),16-tetraene;

25-acetylamino-3-hydroxy-24-homo-19-nor-cholest-1,3,5(10)-triene;

3,24-dihydroxy-24-propargyl-19,26,27-trisnor-cholest-1,3,5(10)-triene;

2-methoxy-3,24-dihydroxy-24-propargyl-19,26,27-trisnor-cholesta-1,3,5(10)-triene;

3,24-dihydroxy-20-epi-24-propargyl-19,26,27-trisnor-cholest-1,3,5(10)-triene;

3,24-dihydroxy-24,24-bispropargyl-19-nor-chol-1,3,5(10),22-tetraene;

2-methoxy-3,24-dihydroxy-24,24-bispropargyl-19-nor-chol-1,3,5(10),22-tetraene;

3,24-dihydroxy-20-epi-24,24-bispropargyl-19-nor-chol-1,3,5(10),22-tetraene;

3-hydroxy-25-amino-26,27-bishomo-19-nor-cholest-1,3,5(10)-trien-23-yne;

2-methoxy-3-hydroxy-25-amino-26,27-bishomo-19-nor-cholest-1,3,5(10)-trien-23-yne;

3-hydroxy-20-epi-25-amino-26,27-bishomo-19-nor-cholest-1,3,5(10)-trien-23-yne;

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3-hydroxy-25-amino-26,27-bishomo-19-nor-cholest- 1,3,5(10)-triene;
2-methoxy-3-hydroxy-25-amino-26,27-bishomo-19-nor-cholesta-1,3,5(10)-triene;
3-hydroxy-20-epi-25-amino-26,26-bishomo-19-nor-cholesta-1,3,5(10)-triene;
3-hydroxy-25-acetylamino-26,27-bishomo-19-nor- cholest-1,3,5(10)-trien-23-yne;
2-methoxy-3-hydroxy-25-acetylamino-26,27-bishomo-19-nor-cholest-1,3,5(10)-
trien-23-yne;
3-hydroxy-20-epi-25-acetylamino-26,27-bishomo-19-nor-cholest-1,3,5(10)-trien-
23-yne;
3,22-dihydroxy-25-amino-26,27-bishomo-19-nor- cholest-1,3,5(10)-trien-23-yne;
2-methoxy-3,22-dihydroxy-25-amino-26,27-bishomo-19- nor-cholest-
1,3,5(10)-trien-23-yne;
3,22-dihydroxy-20-epi-25-amino-26,27-bishomo-19-nor-cholest-1,3,5(10)-trien-
23-yne;
2-methoxy-3-hydroxy-24-homo-25-acetylamino-19-nor- cholest-1,3,5(10),
16-tetraene;
2-methoxy-3-hydroxy-24-homo-25-amino-19-nor-cholest-1,3,5(10),16-tetraene;
2-methoxy-3-hydroxy-25-acetylamino-19-nor-cholest-1,3,5(10),16-tetraene;
2-methoxy-3-hydroxy-25-amino-19-nor-cholest-1,3,5(10),16-tetraene;
3-hydroxy-24-homo-25-acetylamino-19-nor-cholest- 1,3,5(10),6,8,16-hexaene;
3-hydroxy-24-homo-25-amino-19-nor-cholest- 1,3,5(10),6,8,16-hexaene;
3,25-dihydroxy-19-nor-cholest-1,3,5(10)-trien-23-yne;
3,25-dihydroxy-19-nor-cholest-1,3,5(10)-triene;
2-methoxy-3,25-dihydroxy-19-nor-cholest-1,3,5(10)-trien-23-yne;
3,25-dihydroxy-20-epi-19-nor-cholest-1,3,5(10)-trien-23-yne;
2-methoxy-3,25-dihydroxy-19-nor-cholest-1,3,5(10)-triene;
3,25-dihydroxy-20-epi-19-nor-cholest-1,3,5(10)-triene;
3,25-dihydroxy-24,24a-bishomo-19-nor-cholest-1,3,5(10),22,24(24a)-pentaene;
25-amino-3-hydroxy-20-epi-24-homo-19-nor-cholest-1,3,5(10),16-tetraene;
25-acetylamino-3-hydroxy-20-epi-24-homo-19-nor-cholest-1,3,5(10),16-tetraene;

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25-amino-3-hydroxy-20-epi-19-nor-cholest-1,3,5(10),16-tetraene;
25-acetylamino-3-hydroxy-20-epi-24-homo-19-nor-cholest-1,3,5(10),16-tetraene;
3-hydroxy-24-homo-25-acetylamino-19-nor-cholest-1,3,5(10),6,16-pentaene; and
3-hydroxy-24-homo-25-amino-19-nor-cholest-1,3,5(10),6,16-pentaene.

17(previously presented). Active compound of formula (I) as claimed in claim 1 for use in management of neoplastic disease; as agents to promote wound healing; in burn management; in treatment of bone diseases, autoimmune disease, host-graft reaction, transplant rejection, inflammatory diseases, neoplasias or hyperplasias, myopathy, enteropathy or spondylitic heart disease; in suppression of parathyroid hormone; in treatment of dermatological diseases, hypertension, rheumatoid arthritis, psoriatic arthritis, secondary hyperparathyroidism, asthma, cognitive impairment or senile dementia; in fertility control in either human or animal subjects; in management of disorders involving blood clotting; or in reduction of serum cholesterol.

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Claim 18(canceled).

19(previously presented). Pharmaceutical compositions comprising an active compound of formula (I) as claimed in claim 1 in admixture with one or more physiologically acceptable carriers or excipients.

20(previously presented). A method of treatment of a human or animal subject in the management of neoplastic disease; to promote wound healing; in burn management; in treatment of bone diseases, autoimmune disease, host-graft reaction, transplant rejection, inflammatory diseases, neoplasias or hyperplasias, myopathy, enteropathy or spondylitic heart disease; in suppression of parathyroid hormone; in treatment of dermatological diseases, hypertension, rheumatoid arthritis, psoriatic arthritis, secondary hyperparathyroidism, asthma, cognitive impairment or senile dementia; in fertility control; in management of disorders involving blood clotting; or in

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reduction of serum cholesterol, which method comprises administering to said subject a therapeutically effective amount of an active compound of formula (I) as claimed in claim 1.

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Claim 21(canceled).